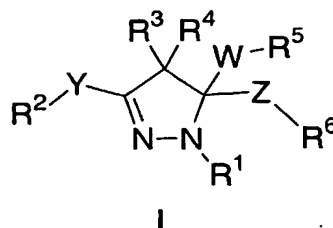


WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

a is 0 or 1;

b is 0 or 1;

m is 0, 1, or 2;

10 n is 0 to 2;

u is 1, 2, 3, 4 or 5;

R¹ is selected from:

- 1) (C=X)C₁-C₁₀ alkyl,
- 15 2) (C=X)aryl,
- 3) (C=X)C₂-C₁₀ alkenyl,
- 4) (C=X)C₂-C₁₀ alkynyl,
- 5) (C=X)C₃-C₈ cycloalkyl,
- 6) (C=X)heterocyclyl,
- 20 7) (C=X)NR⁷R⁸,
- 8) (C=X)OC₁-C₁₀ alkyl,
- 9) SO₂NR⁷R⁸,
- 10) SO₂C₁-C₁₀ alkyl,
- 11) SO₂C₁-C₁₀ aryl,
- 25 12) SO₂C₁-C₁₀ heterocyclyl,
- 13) C₁-C₁₀ alkyl,
- 14) aryl,
- 15) heteroaryl,
- 16) (CH₂)_u(C=O)C₁-C₁₀ alkyl,

- 17) $(\text{CH}_2)_u(\text{C}=\text{O})\text{NR}^7\text{R}^8$,
 18) 3-pyrrolidinonyl, 3-piperidinonyl, 2-cyclopentanonyl, 2-cyclohexanonyl,
 19) $(\text{C}=\text{O})(\text{C}=\text{O})\text{C}_1\text{-C}_{10}$ alkyl,
 5 20) $(\text{C}=\text{O})(\text{C}=\text{O})\text{NR}^7\text{R}^8$,
 21) $(\text{C}=\text{O})(\text{C}=\text{O})\text{O C}_1\text{-C}_{10}$ alkyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R^7 ; or

10 R^2 is selected from:

- 1) $\text{C}_1\text{-C}_{10}$ alkyl,
 2) aryl,
 3) $\text{C}_2\text{-C}_{10}$ alkenyl,
 4) $\text{C}_2\text{-C}_{10}$ alkynyl,
 15 5) $\text{C}_1\text{-C}_6$ perfluoroalkyl,
 6) $\text{C}_1\text{-C}_6$ aralkyl,
 7) $\text{C}_1\text{-C}_6$ heteroaralkyl,
 8) $\text{C}_3\text{-C}_8$ cycloalkyl, and
 9) heterocyclyl,

20 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroaralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R^7 ;

R^3 , R^4 , R^5 and R^6 are independently selected from:

- 1) H,
 25 2) $\text{C}_1\text{-C}_{10}$ alkyl,
 3) aryl,
 4) $\text{C}_2\text{-C}_{10}$ alkenyl,
 5) $\text{C}_2\text{-C}_{10}$ alkynyl,
 6) $\text{C}_1\text{-C}_6$ perfluoroalkyl,
 30 7) $\text{C}_1\text{-C}_6$ aralkyl,
 8) $\text{C}_3\text{-C}_8$ cycloalkyl, and
 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R^7 ; or

R³ and R⁴, or R⁵ and R⁶, attached to the same carbon atom (W and Z are a bond) are combined to form -(CH₂)_u- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)_m, -N(R⁹)C(O)-, and -N(COR¹⁰)-;

5 R⁷ is:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 10 5) (C=O)_aO_b heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 8) CN,
- 9) OH,
- 15 10) O_bC₁-C₆ perfluoroalkyl,
- 11) O_a(C=O)_bNR⁹R¹⁰,
- 12) S(O)_mR^a,
- 13) S(O)₂NR⁹R¹⁰,
- 14) oxo,
- 20 15) CHO,
- 16) (N=O)R⁹R¹⁰, or
- 17) (C=O)_aO_bC₃-C₈ cycloalkyl,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R⁸;

25

R⁸ is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl, wherein r and s are independently 0 or 1,
- 2) O_r(C₁-C₃)perfluoroalkyl, wherein r is 0 or 1,
- 3) (C₀-C₆)alkylene-S(O)_mR^a, wherein m is 0, 1, or 2,
- 30 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,

- 9) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_2\text{-C}_{10})\text{alkynyl}$,
- 10) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_3\text{-C}_6)\text{cycloalkyl}$,
- 11) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-aryl}$,
- 12) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-heterocyclyl}$,
- 5 13) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-N(R}^b)_2$,
- 14) C(O)R^a ,
- 15) $(\text{C}_0\text{-C}_6)\text{alkylene-CO}_2\text{R}^a$,
- 16) C(O)H ,
- 17) $(\text{C}_0\text{-C}_6)\text{alkylene-CO}_2\text{H}$,
- 10 18) $\text{C(O)N(R}^b)_2$,
- 19) $\text{S(O)}_m\text{R}^a$,
- 20) $\text{S(O)}_2\text{NR}^9\text{R}^{10}$, and
- 21) C(NH)NH_2 ;

15 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b , OH, $(\text{C}_1\text{-C}_6)\text{alkoxy}$, halogen, CO_2H , CN, $\text{O}(\text{C}=\text{O})\text{C}_1\text{-C}_6$ alkyl, oxo, and $\text{N(R}^b)_2$;

R^9 and R^{10} are independently selected from:

- 1) H,
- 20 2) $(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-C}_{10}$ alkyl,
- 3) $(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8$ cycloalkyl,
- 4) $(\text{C}=\text{O})\text{O}_b\text{aryl}$,
- 5) $(\text{C}=\text{O})\text{O}_b\text{heterocyclyl}$,
- 6) $\text{C}_1\text{-C}_{10}$ alkyl,
- 25 7) aryl,
- 8) $\text{C}_2\text{-C}_{10}$ alkenyl,
- 9) $\text{C}_2\text{-C}_{10}$ alkynyl,
- 10) heterocyclyl,
- 11) $\text{C}_3\text{-C}_8$ cycloalkyl,
- 30 12) SO_2R^a , and
- 13) $(\text{C}=\text{O})\text{NR}^b_2$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^8 , or

R⁹ and R¹⁰ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 3-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R⁸;

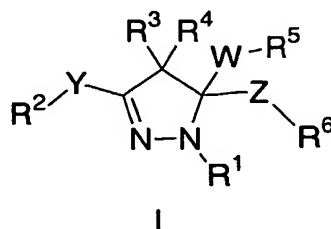
R^a is (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, or heterocyclyl; and

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a;

X is selected from O and S;

Y, W and Z are independently selected from: a bond, C=O, C=S, S(O)_n, CH(OH) and O.

2. The compound according to Claim 1 of the Formula I:



or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

a is 0 or 1;
 b is 0 or 1;
 m is 0, 1, or 2;
 n is 0 to 2;
 u is 2, 3, 4 or 5;

R¹ is selected from:

- 1) (C=X)C₁-C₁₀ alkyl,
- 2) (C=X)aryl,

- 3) (C=X)C₂-C₁₀ alkenyl,
- 4) (C=X)C₂-C₁₀ alkynyl,
- 5) (C=X)C₃-C₈ cycloalkyl,
- 6) (C=X)heterocyclyl,
- 5 7) (C=X)NR⁷R⁸,
- 8) (C=X)OC₁-C₁₀ alkyl,
- 9) SO₂NR⁷R⁸,
- 10) SO₂C₁-C₁₀ alkyl,
- 11) SO₂C₁-C₁₀ aryl,
- 10 12) SO₂C₁-C₁₀ heterocyclyl,
- 13) C₁-C₁₀ alkyl,
- 14) aryl,
- 15) heteroaryl,
- 16) (CH₂)_u(C=O)C₁-C₁₀ alkyl,
- 15 17) (CH₂)_u(C=O) NR⁷R⁸,
- 18) 3-pyrrolidinonyl, 3-piperidinonyl, 2-cyclopentanonyl, 2-cyclohexanonyl,
- 19) (C=O)(C=O)C₁-C₁₀ alkyl,
- 20) (C=O)(C=O)NR⁷R⁸,
- 20 21) (C=O)(C=O)O C₁-C₁₀ alkyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R⁷; or

R² is selected from:

- 25 1) C₁-C₁₀ alkyl,
- 2) aryl,
- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 5) C₁-C₆ perfluoroalkyl,
- 30 6) C₁-C₆ aralkyl,
- 7) C₁-C₆ heteroaralkyl,
- 8) C₃-C₈ cycloalkyl, and
- 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroaralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R⁷;

R³, R⁴, R⁵ and R⁶ are independently selected from:

- 5 1) H,
- 2) C₁-C₁₀ alkyl,
- 3) aryl,
- 4) C₂-C₁₀ alkenyl,
- 5) C₂-C₁₀ alkynyl,
- 10 6) C₁-C₆ perfluoroalkyl,
- 7) C₁-C₆ aralkyl,
- 8) C₃-C₈ cycloalkyl, and
- 9) heterocyclyl,

15 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R⁷; or

R³ and R⁴, or R⁵ and R⁶, attached to the same carbon atom (W and Z are a bond) are combined to form -(CH₂)_u- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)_m, -N(R⁹)C(O)-, and -N(COR¹⁰)-;

20

R⁷ is:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 25 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 8) CN,
- 30 9) OH,
- 10) O_bC₁-C₆ perfluoroalkyl,
- 11) O_a(C=O)_bNR⁹R¹⁰,
- 12) S(O)_mR^a,
- 13) S(O)₂NR⁹R¹⁰,

- 14) oxo,
- 15) CHO,
- 16) (N=O)R⁹R¹⁰, or
- 17) (C=O)_aO_bC₃-C₈ cycloalkyl,

5 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R⁸;

R⁸ is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl, wherein r and s are independently 0 or 1,
- 10 2) O_r(C₁-C₃)perfluoroalkyl, wherein r is 0 or 1,
- 3) (C₀-C₆)alkylene-S(O)_mR^a, wherein m is 0, 1, or 2,
- 4) oxo,
- 5) OH,
- 6) halo,
- 15 7) CN,
- 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,
- 9) (C=O)_rO_s(C₂-C₁₀)alkynyl,
- 10) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 11) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 20 12) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 13) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 14) C(O)R^a,
- 15) (C₀-C₆)alkylene-CO₂R^a,
- 16) C(O)H,
- 25 17) (C₀-C₆)alkylene-CO₂H,
- 18) C(O)N(R^b)₂,
- 19) S(O)_mR^a, and
- 20) S(O)₂NR⁹R¹⁰,

30 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

R⁹ and R¹⁰ are independently selected from:

- 1) H,

- 2) $(\text{C}=\text{O})\text{O}^b\text{C}_1\text{-C}_{10}$ alkyl,
- 3) $(\text{C}=\text{O})\text{O}^b\text{C}_3\text{-C}_8$ cycloalkyl,
- 4) $(\text{C}=\text{O})\text{O}^b$ aryl,
- 5) $(\text{C}=\text{O})\text{O}^b$ heterocyclyl,
- 5 6) $\text{C}_1\text{-C}_{10}$ alkyl,
- 7) aryl,
- 8) $\text{C}_2\text{-C}_{10}$ alkenyl,
- 9) $\text{C}_2\text{-C}_{10}$ alkynyl,
- 10) heterocyclyl,
- 10 11) $\text{C}_3\text{-C}_8$ cycloalkyl,
- 12) SO_2R^a , and
- 13) $(\text{C}=\text{O})\text{NR}^b_2$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^8 , or

15

R^9 and R^{10} can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally

20

substituted with one or more substituents selected from R^8 ;

R^a is $(\text{C}_1\text{-C}_6)$ alkyl, $(\text{C}_3\text{-C}_6)$ cycloalkyl, aryl, or heterocyclyl; and

R^b is H, $(\text{C}_1\text{-C}_6)$ alkyl, aryl, heterocyclyl, $(\text{C}_3\text{-C}_6)$ cycloalkyl, $(\text{C}=\text{O})\text{OC}_1\text{-C}_6$ alkyl, $(\text{C}=\text{O})\text{C}_1\text{-C}_6$ alkyl or $\text{S}(\text{O})_2\text{R}^a$;

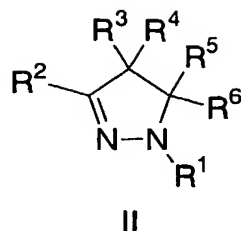
25

X is selected from O and S;

Y, W and Z are independently selected from: a bond, $\text{C}=\text{O}$, $\text{C}=\text{S}$, $\text{S}(\text{O})_n$, $\text{CH}(\text{OH})$ and O.

30

3. A compound of the Formula II,



wherein:

- a is 0 or 1;
 5 b is 0 or 1;
 m is 0, 1, or 2;
 n is 0 to 2;

R¹ is selected from:

- 10 1) (C=O)C₁-C₁₀ alkyl,
 2) (C=O)aryl,
 3) (C=O)C₂-C₁₀ alkenyl,
 4) (C=O)C₂-C₁₀ alkynyl,
 5) (C=O)C₃-C₈ cycloalkyl,
 15 6) (C=O)heterocyclyl,
 7) (C=O)OC₁-C₁₀ alkyl,
 8) (C=O)NR⁷R⁸,
 9) SO₂NR⁷R⁸,
 10) SO₂C₁-C₁₀ alkyl,
 20 11) SO₂C₁-C₁₀ aryl,
 12) SO₂C₁-C₁₀ heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, and heterocyclyl is optionally substituted with one or more substituents selected from R⁷; or

25 R² is selected from:

- 1) C₁-C₁₀ alkyl,
 2) aryl,
 3) C₂-C₁₀ alkenyl,
 4) C₂-C₁₀ alkynyl,

- 5) C₁-C₆ perfluoroalkyl,
- 6) C₁-C₆ aralkyl,
- 7) C₃-C₈ cycloalkyl, and
- 8) heterocyclyl,

5 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R⁷;

R³, R⁴, R⁵ and R⁶ are independently selected from:

- 1) H,
- 10 2) C₁-C₁₀ alkyl,
- 3) aryl,
- 4) C₂-C₁₀ alkenyl,
- 5) C₂-C₁₀ alkynyl,
- 6) C₁-C₆ perfluoroalkyl,
- 15 7) C₁-C₆ aralkyl,
- 8) C₃-C₈ cycloalkyl, and
- 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R⁷;

20

R⁷ is:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 25 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 8) CN,
- 30 9) OH,
- 10) O_bC₁-C₆ perfluoroalkyl,
- 11) O_a(C=O)_bNR⁹R¹⁰,
- 12) S(O)_mR^a,
- 13) S(O)₂NR⁹R¹⁰,

- 14) oxo,
- 15) CHO,
- 16) (N=O)R⁹R¹⁰, or
- 17) (C=O)_aO_bC₃-C₈ cycloalkyl,

5 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R⁸;

R⁸ is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl, wherein r and s are independently 0 or 1,
- 10 2) O_r(C₁-C₃)perfluoroalkyl, wherein r is 0 or 1,
- 3) oxo,
- 4) OH,
- 5) halo,
- 6) CN,
- 15 7) (C₂-C₁₀)alkenyl,
- 8) (C₂-C₁₀)alkynyl,
- 9) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 10) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 11) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 20 12) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 13) C(O)R^a,
- 14) (C₀-C₆)alkylene-CO₂R^a,
- 15) C(O)H,
- 16) (C₀-C₆)alkylene-CO₂H, and
- 25 17) C(O)N(R^b)₂,
- 18) S(O)_mR^a, and
- 19) S(O)₂NR⁹R¹⁰

30 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

R⁹ and R¹⁰ are independently selected from:

- 1) H,

- 2) (C=O)O^bC₁-C₁₀ alkyl,
- 3) (C=O)O^bC₃-C₈ cycloalkyl,
- 4) (C=O)O^baryl,
- 5) (C=O)O^bheterocyclyl,
- 5 6) C₁-C₁₀ alkyl,
- 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 9) C₂-C₁₀ alkynyl,
- 10) heterocyclyl,
- 10 11) C₃-C₈ cycloalkyl,
- 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one, two or three substituents selected from R⁸, or

15

R⁹ and R¹⁰ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R⁸;

20

R^a is (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, or heterocyclyl; and

25

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a.

4. The compound according to Claim 3 or a pharmaceutically acceptable salt or stereoisomer thereof, wherein:

30 R¹ is selected from:

- 1) (C=O)C₁-C₁₀ alkyl,
- 2) (C=O)aryl,
- 3) (C=O)C₃-C₈ cycloalkyl,
- 4) (C=O)heterocyclyl,

5) (C=O)OC₁-C₁₀ alkyl,

6) SO₂NR⁷R⁸, and

7) SO₂C₁-C₁₀ alkyl,

said alkyl, aryl, cycloalkyl, and heterocyclyl is optionally substituted with one, two or
5 three substituents selected from R⁷;

R² is selected from:

1) C₁-C₁₀ alkyl,

2) aryl, and

10 3) heteroaryl,

said alkyl, aryl and heteroaryl is optionally substituted with one or more substituents
selected from R⁷;

R³ and R⁴ are independently selected from:

15 1) H, and

2) C₁-C₁₀ alkyl,

said alkyl is optionally substituted with one or more substituents selected from R⁷;
and

20 R⁵ and R⁶ are independently selected from:

1) H,

2) C₁-C₁₀ alkyl,

3) aryl, and

4) heterocyclyl,

25 said alkyl, aryl and heterocyclyl is optionally substituted with one or more
substituents selected from R⁷;

and R⁷, R⁸, R⁹, R¹⁰, R^a and R^b are as described in Claim 2.

30 5. The compound according to Claim 4, or the pharmaceutically
acceptable salt or stereoisomer thereof, wherein R² is phenyl, optionally substituted
with one or two substituents selected from R⁷.

6. A compound selected from:

- 3-[1-acetyl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[3-(2-chlorophenyl)-1-isobutyryl-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 5 3-[1-acetyl-3-(2-chlorophenyl)-5-methyl-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[1-Acetyl-3-(2-fluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 10 3-[1-Acetyl-3-(3-bromophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[1-Acetyl-3-(2,3-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 15 3-[1-Acetyl-3-(2,5-dichlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[1-Propionyl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 3-[1-Isobutyryl-3-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 20 1-Acetyl-3-(2-chlorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 1-Acetyl-3-(3-chlorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 25 1-Acetyl-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 1-Acetyl-3-(4-fluoro-3-hydroxyphenyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 1-{{3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl}carbonyl}piperazine
- 30 3-(2,5-difluorophenyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

- 3-(2,5-difluorophenyl)-5-(3-hydroxyphenyl)-N,N-dimethyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 4-{[3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]carbonyl}morpholine
- 5 3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 10 3-(2,5-difluorophenyl)-N,N-diethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 15 3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazole
- 3-[3-(2-fluoro-5-methylphenyl)-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 20 1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 3-[1-(azetidin-1-ylcarbonyl)-3-(5-chloro-2-fluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol
- 25 1-(1-{[3-(5-chloro-2-fluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]carbonyl}piperidin-2-yl)-N,N-dimethylmethanamine
- 3-(2,5-difluorophenyl)-1,5-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole
- 30 1-acetyl-3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazole
- 3-(2,5-difluorophenyl)-N,5-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

- 3-(2,5-difluorophenyl)-N,N,5-trimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 5 3-(2,5-difluorophenyl)-5-ethyl-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-5-(hydroxymethyl)-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 10 3-(2,5-difluorophenyl)-5-methyl-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazole
- 3-(2,5-difluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazole
- 15 3-(2,5-difluorophenyl)-1,5-dimethyl-5-(3-hydroxyphenyl)-4,5-dihydro-1H-pyrazole
- ethyl [3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]acetate
- 20 ethyl [3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]acetate
- ethyl 2-[3-(2,5-difluorophenyl)-5-methyl-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]propanoate
- 25 3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine
- 3-(2,5-difluorophenyl)-1-(methylsulfonyl)-5-phenyl-4,5-dihydro-1H-pyrazole
- 3-(2,5-difluorophenyl)-5-[3-(dimethylamino)propyl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 30 1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-N-ethyl-5-{3-[(1H-imidazol-2-ylcarbonyl)amino]propyl}-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

- 5-(2-aminoethyl)-3-(2,5-difluorophenyl)-N-methyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 5-(3-aminopropyl)-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 5-(3-aminobutyl)-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 5-[3-(benzoylamino)propyl]-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-5-[4-(dimethylamino)butyl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-5-[4-(dimethylnitro)but-1-yl]-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 5-[4-(benzylamino)butyl]-3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-5-[4-[(pyridin-4-ylmethyl)amino]butyl]-4,5-dihydro-1H-pyrazole-1-carboxamide
- 3-[1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-ol
- 3-[1-(azetidin-1-ylcarbonyl)-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine
- 3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-ol

3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

5 3-[3-(5-chloro-2-fluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

N-{3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propyl} guanidine

10 5-(3-amino-3-phenylpropyl)-3-(2,5-difluorophenyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

15 3-[3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]-1-methylpropylamine

3-[3-(2,5-difluorophenyl)-5-phenyl-1-(pyrrolidin-1-ylcarbonyl)-4,5-dihydro-1H-pyrazol-5-yl]-1-(trifluoromethyl)propylamine

20 1-acetyl-3-(2,5-difluorophenyl)-4-methyl-5-phenyl-4,5-dihydro-1H-pyrazole

or a pharmaceutically acceptable salt or stereoisomer thereof.

7. A compound selected from:

25 1-{[3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-1-yl]carbonyl}piperazine TFA salt,

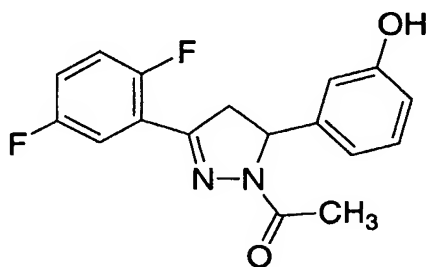
3-(2,5-difluorophenyl)-N-ethyl-5-phenyl-5-{4-[(pyridin-4-ylmethyl)amino]butyl}-4,5-dihydro-1H-pyrazole-1-carboxamide bis TFA salt,

30 3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine hydrochloride salt, and

N-{3-[3-(2,5-difluorophenyl)-1-(morpholin-4-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propyl} guanidine TFA salt.

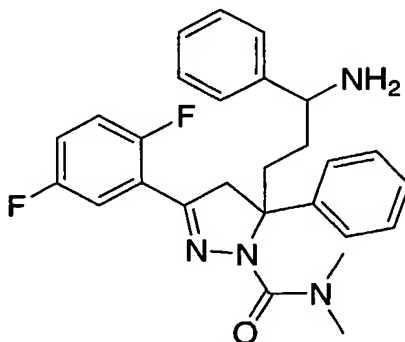
8. The compound according to Claim 6 which is selected from:

3-[1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-1H-pyrazol-5-yl]phenol

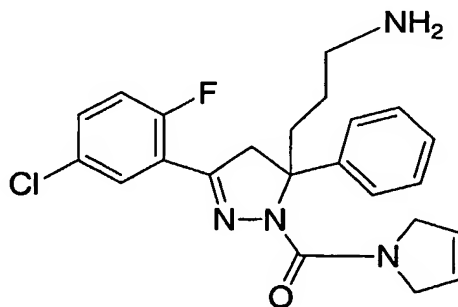


5

3-(2,5-difluorophenyl)-5-(3-hydroxy-3-phenylpropyl)-N,N-dimethyl-5-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide

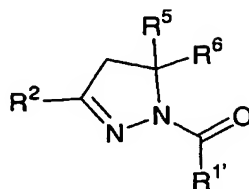


10 3-[3-(5-chloro-2-fluorophenyl)-1-(2,5-dihydro-1H-pyrrol-1-ylcarbonyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]propan-1-amine

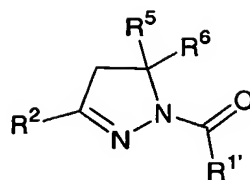


or a pharmaceutically acceptable salt or stereoisomer thereof.

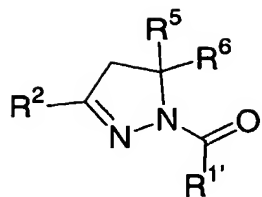
9. A compound selected from:



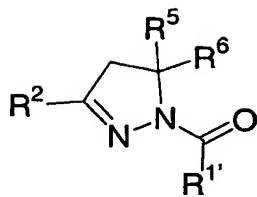
R^2	R^5	R^6	$R^{1'}$
2,5-dichlorophenyl	H	Ph	NMe ₂
2-fluoro-5-cyanophenyl	H	Ph	NMe ₂
2-fluoro-5-bromophenyl	H	Ph	NMe ₂
2-fluoro-5-hydroxymethylphenyl	H	Ph	NMe ₂
2-fluoro-5-chlorophenyl	H	Ph	NMe ₂
2-fluoro-5-nitrophenyl	H	Ph	NMe ₂
4-pyridyl	H	Ph	NMe ₂
3-pyridyl	H	Ph	NMe ₂
2-pyridyl	H	Ph	NMe ₂
isopropyl	H	Ph	NMe ₂
tert-butyl	H	Ph	NMe ₂
cyclopropyl	H	Ph	NMe ₂
isobutyl	H	Ph	NMe ₂
1-imidazolyl	H	Ph	NMe ₂
2-imidazolyl	H	Ph	NMe ₂
2-thiazolyl	H	Ph	NMe ₂



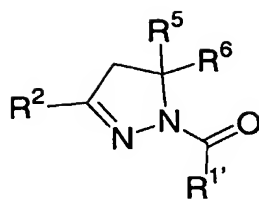
R^2	R^5	R^6	$R^{1'}$
2-oxazolyl	H	Ph	NMe ₂
3-isoxazolyl	H	Ph	NMe ₂
2-furanyl	H	Ph	NMe ₂
3-furanyl	H	Ph	NMe ₂
2,5-difluorophenyl	H	3-hydroxyphenyl	NMe ₂
2,5-difluorophenyl	H	4-hydroxyphenyl	NMe ₂
2,5-difluorophenyl	H	3-aminophenyl	NMe ₂
2,5-difluorophenyl	H	3-(acetylamino)phenyl	NMe ₂
2,5-difluorophenyl	H	3-carboxyphenyl	NMe ₂
2,5-difluorophenyl	H	3-tetrazolylphenyl	NMe ₂
2,5-difluorophenyl	H	4-pyridyl	NMe ₂
2,5-difluorophenyl	H	3-pyridyl	NMe ₂
2,5-difluorophenyl	H	2-pyridyl	NMe ₂
2,5-difluorophenyl	H	2-pyrimidinyl	NMe ₂
2,5-difluorophenyl	H	6-indolyl	NMe ₂
2,5-difluorophenyl	H	4-indolyl	NMe ₂
2,5-difluorophenyl	H	6-benzimidazolyl	NMe ₂
2,5-difluoropheny	H	1-imidazolyl	NMe ₂



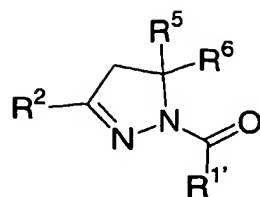
R^2	R^5	R^6	$R^{1'}$
2,5-difluorophenyl	H	2-imidazolyl	NMe ₂
2,5-difluorophenyl	H	2-thiazolyl	NMe ₂
2,5-difluorophenyl	H	2-oxazolyl	NMe ₂
2,5-difluorophenyl	H	3-isoxazolyl	NMe ₂
2,5-difluorophenyl	H	2-furanyl	NMe ₂
2,5-difluorophenyl	H	3-furanyl	NMe ₂
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	



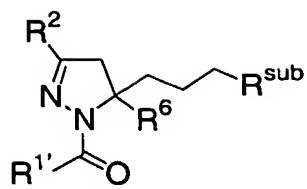
R^2	R	R^6	$R^{1'}$
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	



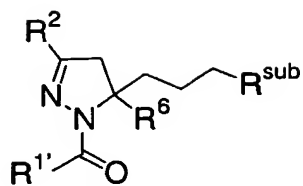
R^2	R^5	R^6	$R^{1'}$
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	



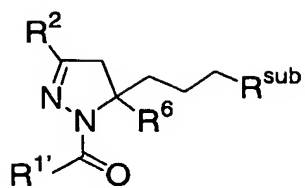
R^2	R^5	R^6	$R^{1'}$
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	
2,5-difluorophenyl	H	Ph	



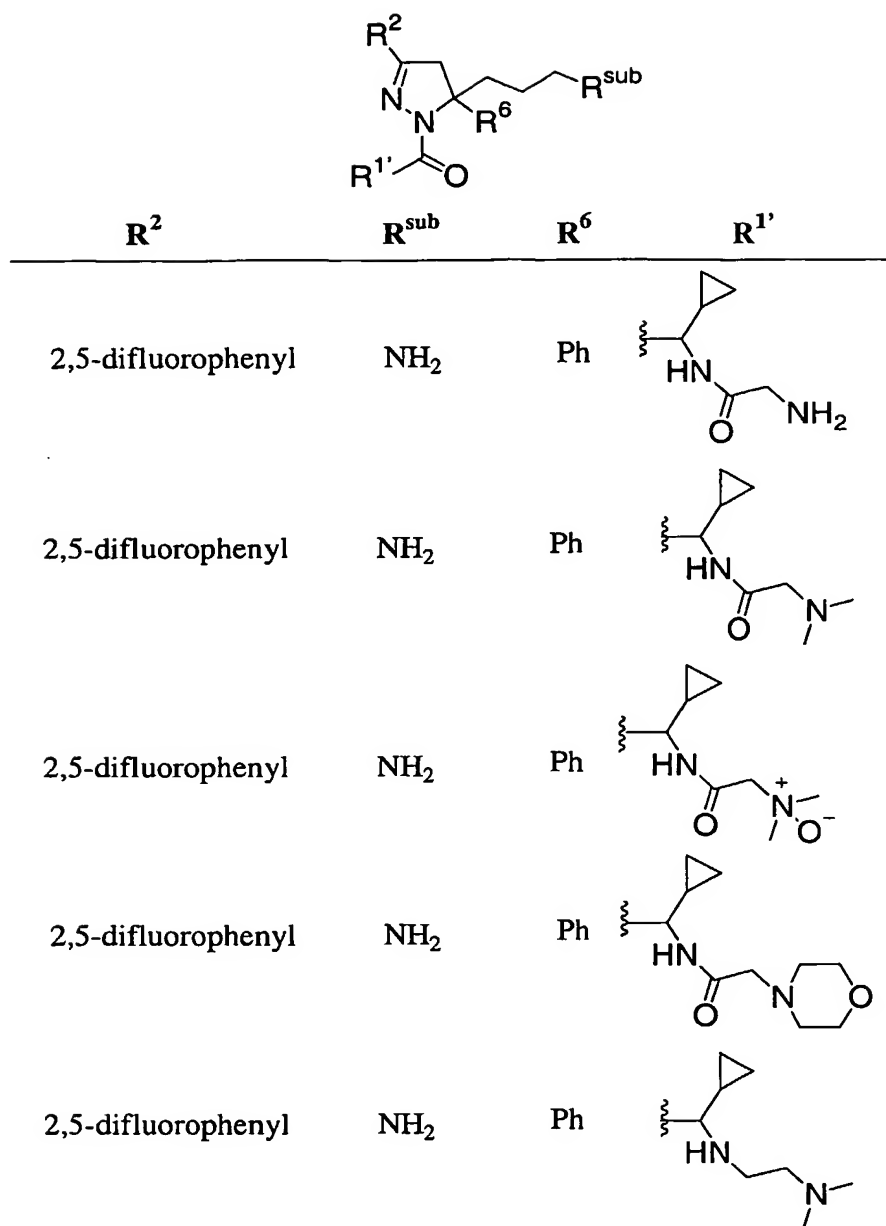
R^2	R^{sub}	R^6	$R^{1'}$
2,5-difluorophenyl	NH ₂	Ph	
2,5-difluorophenyl	NH ₂	Ph	
2,5-difluorophenyl	NH ₂	Ph	
2,5-difluorophenyl	NH ₂	Ph	
2,5-difluorophenyl	NH ₂	Ph	

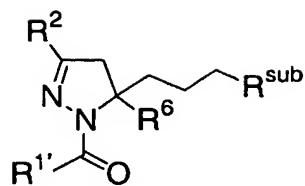


R^2	R^{sub}	R^6	$R^{1'}$
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	

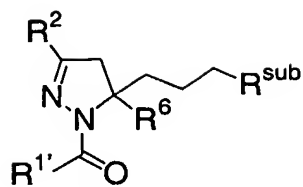


R^2	R^{sub}	R^6	$R^{1'}$
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	
2,5-difluorophenyl	NH_2	Ph	

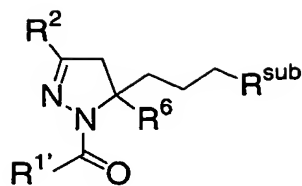




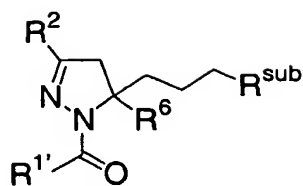
R^2	R^{sub}	R^6	$R^{1'}$
2,5-difluorophenyl	NH ₂	3-hydroxyphenyl	NMe ₂
2,5-difluorophenyl	NH ₂	4-hydroxyphenyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-aminophenyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-(acetylamino)phenyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-carboxyphenyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-tetrazolylphenyl	NMe ₂
2,5-difluorophenyl	NH ₂	4-pyridyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-pyridyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-pyridyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-pyrimidinyl	NMe ₂



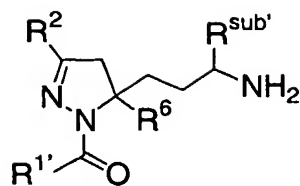
R^2	R^{sub}	R^6	$R^{1'}$
2,5-difluorophenyl	NH ₂	6-indolyl	NMe ₂
2,5-difluorophenyl	NH ₂	4-indolyl	NMe ₂
2,5-difluorophenyl	NH ₂	6-benzimidazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	1-imidazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-imidazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-thiazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-oxazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-isoxazolyl	NMe ₂
2,5-difluorophenyl	NH ₂	2-furanyl	NMe ₂
2,5-difluorophenyl	NH ₂	3-furanyl	NMe ₂



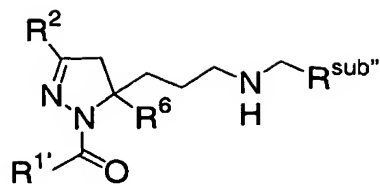
R^2	R^{sub}	R^6	$R^{1'}$
2,5-dichlorophenyl	NH_2	Ph	NMe_2
2-fluoro-5-cyanophenyl	NH_2	Ph	NMe_2
2-fluoro-5-bromophenyl	NH_2	Ph	NMe_2
2-fluoro-5-hydroxymethylphenyl	NH_2	Ph	NMe_2
2-fluoro-5-chlorophenyl	NH_2	Ph	NMe_2
2-fluoro-5-nitrophenyl	NH_2	Ph	NMe_2
4-pyridyl	NH_2	Ph	NMe_2
3-pyridyl	NH_2	Ph	NMe_2
2-pyridyl	NH_2	Ph	NMe_2



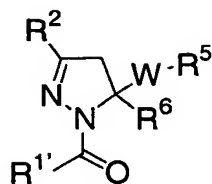
R^2	R^{sub}	R^6	$R^{1'}$
isopropyl	NH_2	Ph	NMe_2
tert-butyl	NH_2	Ph	NMe_2
cyclopropyl	NH_2	Ph	NMe_2
isobutyl	NH_2	Ph	NMe_2
1- imidazolyl	NH_2	Ph	NMe_2
2-imidazolyl	NH_2	Ph	NMe_2
2- thiazolyl	NH_2	Ph	NMe_2
2-oxazolyl	NH_2	Ph	NMe_2
3-isoxazolyl	NH_2	Ph	NMe_2
2-furanyl	NH_2	Ph	NMe_2
3-furanyl	NH_2	Ph	NMe_2



R^2	$R^{sub'}$	R^6	$R^{1'}$
2,5-difluorophenyl	phenyl	Ph	NMe_2
2,5-difluorophenyl	4-nitrophenyl	Ph	NMe_2
2,5-difluorophenyl	4-trifluoromethylphenyl	Ph	NMe_2
2,5-difluorophenyl	4-chlorophenyl	Ph	NMe_2
2,5-difluorophenyl	CO_2Me	Ph	NMe_2
2,5-difluorophenyl	4-pyridyl	Ph	NMe_2
2,5-difluorophenyl	3-pyridyl	Ph	NMe_2
2,5-difluorophenyl	2-pyridyl	Ph	NMe_2
2,5-difluorophenyl	2-imidazolyl	Ph	NMe_2
2,5-difluorophenyl	$CONH_2$	Ph	NMe_2



R^2	$R^{sub''}$	R^6	$R^{1'}$
2,5-difluorophenyl	phenyl	Ph	NMe ₂
2,5-difluorophenyl	4-nitrophenyl	Ph	NMe ₂
2,5-difluorophenyl	4-trifluoromethylphenyl	Ph	NMe ₂
2,5-difluorophenyl	4-chlorophenyl	Ph	NMe ₂
2,5-difluorophenyl	CO ₂ Me	Ph	NMe ₂
2,5-difluorophenyl	4-pyridyl	Ph	NMe ₂
2,5-difluorophenyl	3-pyridyl	Ph	NMe ₂
2,5-difluorophenyl	2-pyridyl	Ph	NMe ₂
2,5-difluorophenyl	2-imidazolyl	Ph	NMe ₂
2,5-difluorophenyl	4-cyanophenyl	Ph	NMe ₂



R^2	$W-R^5$	R^6	$R^{1'}$
2,5-difluorophenyl	$-\text{CH}_2\text{CF}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{OCH}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{CH}_2\text{CH}(\text{CHF}_2)\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{S}(\text{O})_2\text{CH}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{OCF}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{CH}_2\text{CF}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}(\text{CHF}_2)\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{CH}(\text{OH})\text{CH}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{CH}(\text{OH})\text{CH}_2\text{NH}_2$	Ph	NMe_2
2,5-difluorophenyl	$-\text{CH}_2\text{C}(\text{O})\text{CH}_2\text{CH}_2\text{NH}_2$	Ph	NMe_2

or a pharmaceutically acceptable salt or stereoisomer thereof.

10. A pharmaceutical composition that is comprised of a compound in accordance with Claim 1 and a pharmaceutically acceptable carrier.

11. A method of treating or preventing cancer in a mammal in need of such treatment that is comprised of administering to said mammal a therapeutically effective amount of a compound of Claim 1.

12. A method of treating cancer or preventing cancer in accordance with Claim 11 wherein the cancer is selected from cancers of the brain, genitourinary tract, lymphatic system, stomach, larynx and lung.

13. A method of treating or preventing cancer in accordance with Claim 11 wherein the cancer is selected from histiocytic lymphoma, lung adenocarcinoma, small cell lung cancers, pancreatic cancer, glioblastomas and breast carcinoma.

14. A process for making a pharmaceutical composition which comprises combining a compound of Claim 1 with a pharmaceutically acceptable carrier.

15. The composition of Claim 9 further comprising a second compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) a retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor, and
- 11) a PPAR- γ agonist,
- 12) a PPAR- δ agonists;

- 13) an inhibitor of cell proliferation and survival signaling, and
- 14) an agent that interferes with a cell cycle checkpoint.

16. The composition of Claim 15, wherein the second compound
5 is an angiogenesis inhibitor selected from the group consisting of a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-derived growth factor, an inhibitor of platelet derived growth factor, an MMP inhibitor, an integrin blocker, interferon- α , interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole, combretastatin A-4, squalamine,
10 6-O-(chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin, troponin-1, and an antibody to VEGF.

17. The composition according to Claim 10 further comprising a
15 proteasome inhibitor.

18. The composition according to Claim 10 further comprising a
aurora kinase inhibitor.

19. The composition according to Claim 10 further comprising a
20 Raf kinase inhibitor.

20. The composition according to Claim 10 further comprising a
serine/threonine kinase inhibitor.

21. The composition according to Claim 10 further comprising an
25 inhibitor of another mitotic kinesin which is not KSP.

22. The composition of Claim 16, wherein the second compound is
an estrogen receptor modulator selected from tamoxifen and raloxifene.

23. A method of treating cancer which comprises administering a
30 therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy.

24. A method of treating or preventing cancer that comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a compound selected from:

- 1) an estrogen receptor modulator,
- 5 2) an androgen receptor modulator,
- 3) a retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 10 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) PPAR- γ agonists,
- 15 12) PPAR- δ agonists,
- 13) an inhibitor of inherent multidrug resistance,
- 14) an anti-emetic agent,
- 15) an agent useful in the treatment of anemia,
- 16) an agent useful in the treatment of neutropenia,
- 20 17) an immunologic-enhancing drug,
- 18) an inhibitor of cell proliferation and survival signaling, and
- 19) an agent that interferes with a cell cycle checkpoint.

25. A method of treating cancer that comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy and a compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) a retinoid receptor modulator,
- 30 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,

- 5
- 9) a reverse transcriptase inhibitor,
10) an angiogenesis inhibitor,
11) PPAR- γ agonists,
12) PPAR- δ agonists,
13) an inhibitor of inherent multidrug resistance,
14) an anti-emetic agent,
15) an agent useful in the treatment of anemia,
16) an agent useful in the treatment of neutropenia,
17) an immunologic-enhancing drug,
10 18) an inhibitor of cell proliferation and survival signaling, and
19) an agent that interferes with a cell cycle checkpoint.

15 26. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and paclitaxel or trastuzumab.

20 27. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and a GPIIb/IIIa antagonist.

28. The method of Claim 27 wherein the GPIIb/IIIa antagonist is tirofiban.

25 29. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a COX-2 inhibitor.

30 30. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a proteasome inhibitor.

31. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with an aurora kinase inhibitor.

32. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a Raf kinase inhibitor.

5 33. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a serine/threonine kinase inhibitor.

10 34. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with an inhibitor of a mitotic kinesin that is not KSP.

15 35. A method of modulating mitotic spindle formation which comprises administering a therapeutically effective amount of a compound of Claim 1.

36. A method of inhibiting the mitotic kinesin KSP which comprises administering a therapeutically effective amount of a compound of Claim 1.